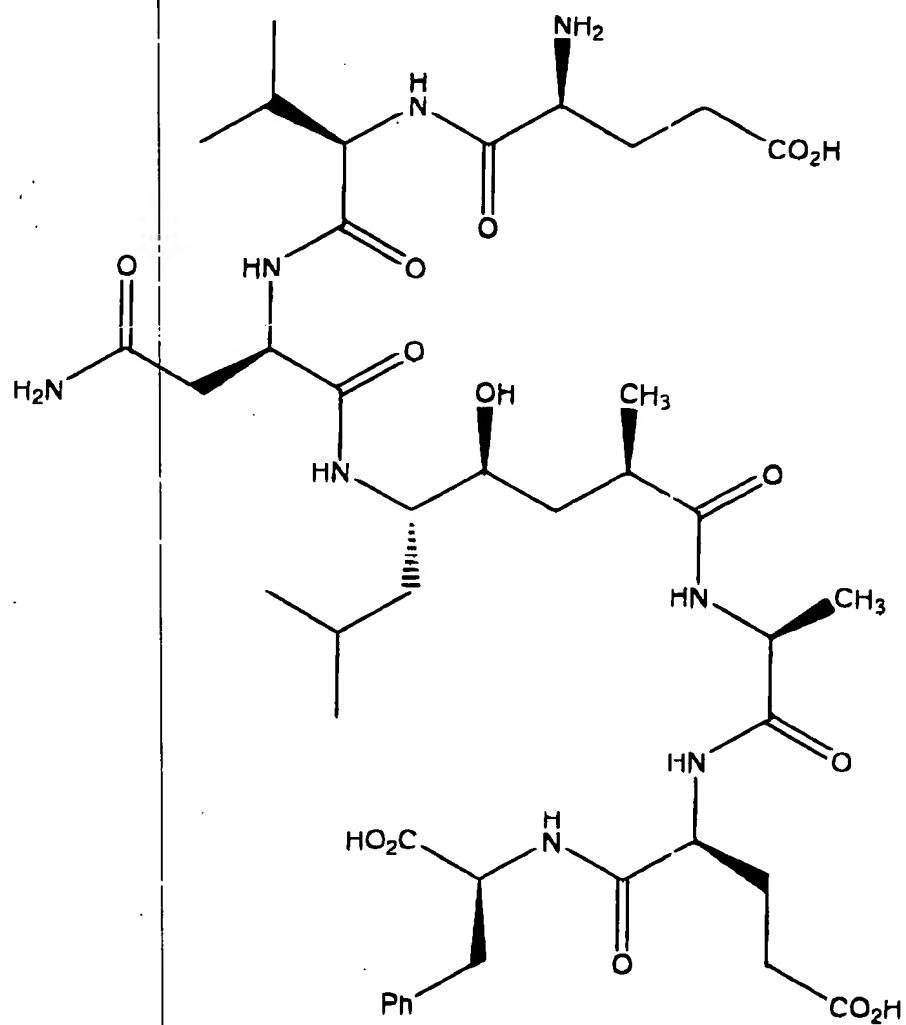


-2-

28. (New) A compound comprising the following structural formula:

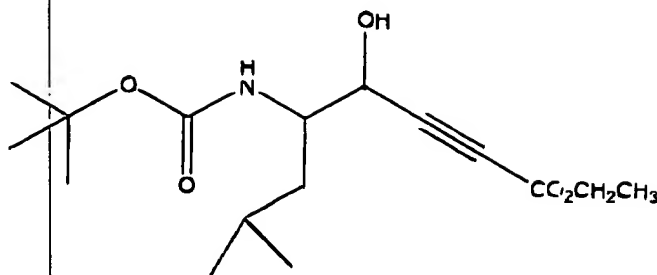


or pharmaceutically acceptable salts thereof, wherein Ph is a phenyl group.

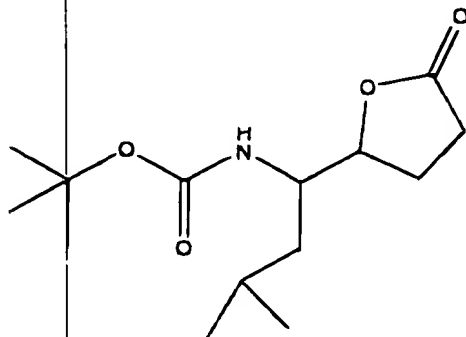
-3-

29. (New) The compound of Claim 28 having a K_i of less than or equal to 10^{-6} M for memapsin 2.
30. (New) The compound of Claim 29 having a K_i of less than or equal to 2 nM for memapsin 2.
31. (New) The compound of Claim 30 having a K_i of less than or equal to 1 nM for memapsin 2.
32. (New) The compound of Claim 28 which is permeable to the blood brain barrier.
33. (New) The compound of Claim 28 which blocks cleavage by memapsin 2 of amyloid precursor protein under physiological conditions.
34. (New) A method for treating a patient to decrease the likelihood of developing or the progression of Alzheimer's disease comprising administering to the patient an effective amount of a compound of Claim 28.
35. (New) The method of Claim 34 wherein the inhibitor is administered orally.
36. (New) The method of Claim 34 wherein the inhibitor blocks cleavage of amyloid precursor protein.
37. (New) A method of preparing a Leu* Ala dipeptide isostere, comprising the steps of:
- reacting ethyl propiolate and N-(tert-butoxycarbonyl)-leucinal in the presence of n-butyl lithium or lithium diisopropyl amine to form ethyl-5-((tert-butoxycarbonyl)amino)-4-hydroxy-7-methyloct-2-ynoate represented by the following structural formula:

-4-

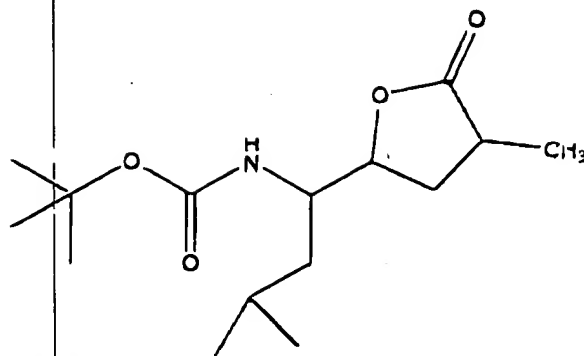


- b) reacting the ethyl-5-((tert-butoxycarbonyl)amino)-4-hydroxy-7-methyloct-2-ynoate with hydrogen in the presence of $Pd/BaSO_4$ to form an intermediate;
- c) reacting the intermediate with an acid to form 5-{1'-((tert-butoxycarbonyl)amino)-3'-methylbutyl}-dihydrofuran-2(3H)-one represented by the following structural formula:



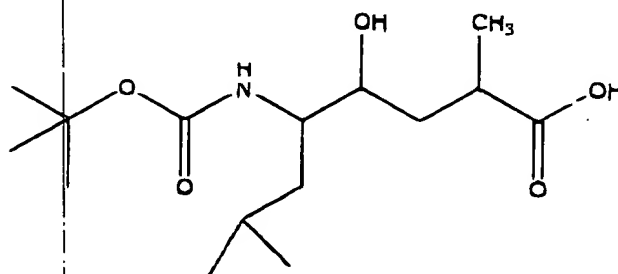
- d) reacting iodomethane with 5-{1'-((tert-butoxycarbonyl)amino)-3'-methylbutyl}-dihydrofuran-2(3H)-one in the presence of hexamethyldisilazane to form 5-{1'-((tert-butoxycarbonyl)amino)-3'-methylbutyl}-3-methyl-dihydrofuran-2(3H)-one represented by the following structural formula:

-5-



; and

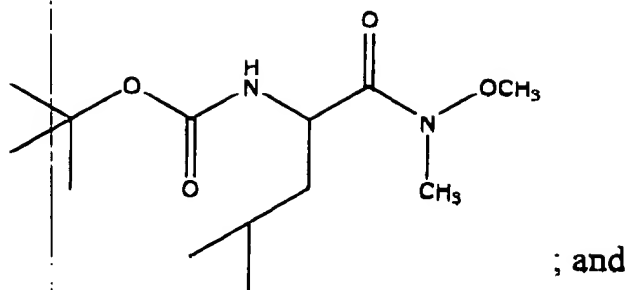
- e) reacting 5-{1'-{[(tert-butoxycarbonyl)amino]-3'-methylbutyl}-3-methyl-dihydrofuran-2(3H)-one with a base to form a Leu* Ala dipeptide isostere represented by the following structural formula:



38. (New) The method of Claim 37, further comprising the steps of:

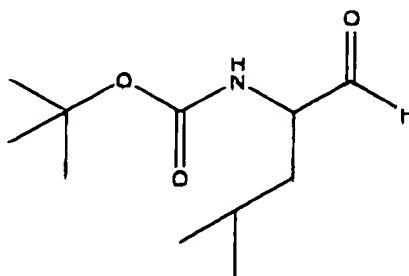
- a) reacting N-(tert-butyloxycarbonyl)-leucine with N,O-dimethylhydroxyamine hydrochloride in the presence of an aprotic base to form N-(tert-butoxycarbonyl)-leucine-N'-methoxy-N'-methanamide represented by the following structural formula:

-6-



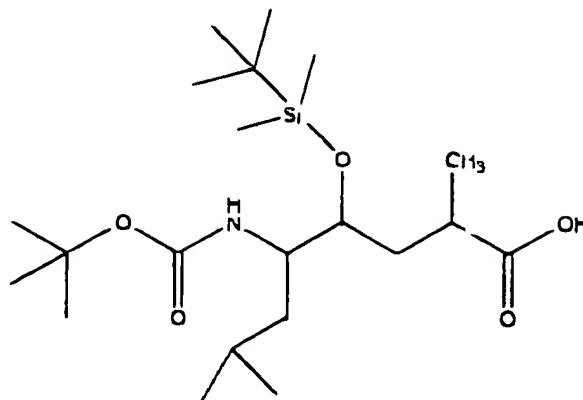
; and

- b) reacting N-(tert-butoxycarbonyl)-leucine-N'-methoxy-N'-methylamide with lithium aluminum hydride to form N-(tert-butoxycarbonyl)-leucinal represented by the following structural formula:



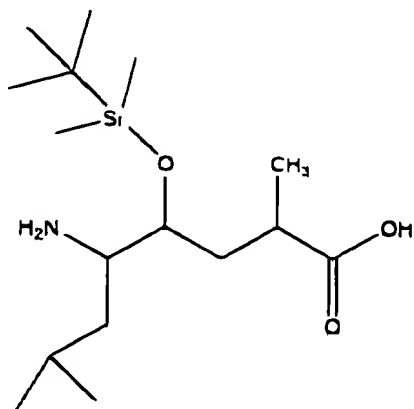
39. (New) The method of Claim 37 or 38, further comprising the step of reacting the Leu* Ala dipeptide isostere with tert-butyldimethylchlorosilane in the presence of a base to form a hydroxy protected Leu*Ala dipeptide isostere represented by the following structural formula:

-7-



40. (New) The method of Claim 39, further comprising the steps of:

- a) treating the hydroxy protected Leu* Ala dipeptide isostere with an acid to form a Leu* Ala dipeptide isostere having a deprotected amine group represented by the following structural formula:



; and

- b) reacting the amine deprotected Leu* Ala dipeptide isostere with N-(9-fluorenylmethoxycarbonyl)-succinimide (Fmoc) in the presence of a base to form an Fmoc protected Leu* Ala dipeptide isostere represented by the following structural formula: